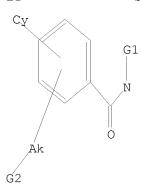
10/560,282 Page 4

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS L1 STR



N

G1 H, Ak G2 N, Hy

Structure attributes must be viewed using STN Express query preparation.

0 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 08:00:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24397 TO ITERATE

8.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 478592 TO 497288 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 08:00:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 490706 TO ITERATE

100.0% PROCESSED 490706 ITERATIONS 140 ANSWERS

SEARCH TIME: 00.00.06

L3 140 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 08:00:55 ON 16 JUN 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

Habte 06/16/2008

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FILE COVERS 1907 - 16 Jun 2008 VOL 148 ISS 25 FILE LAST UPDATED: 15 Jun 2008 (20080615/ED)

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http://www.cas.org/legal/infopolicy.html

=> s 13 L4 7 L3

=> d ibib abs hitstr tot

Habte 06/16/2008

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2007:146795 CAPLUS DOCUMENT NUMBER: 146:229197

6-Membered arvl and heteroarvl derivatives for TITLE:

6-Membered aryl and heteroaryl derivatives f treating viruses and their preparation and pharmaceutical compositions Botyanszki, Janos; Shi, Dong-Fang; Roberts, Christopher Don; Schmitz, Franz Ulrich Genelabs Technologies, Inc., USA U.S. Pat. Appl. Publ., 45pp. CODEN: USXXCO INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE KIND LALE

A1 20070208 US 2006-499461 20060803
A2 20070215 WO 2006-US30631 20060803
A3 20070816
A1, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GW, HN, HR, HU, ID, IL, IN, IS, JP, KE, NG, KM, NN, KF, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MS, MK, MN, MZ, NA, NS, NI, NO, NZ, CM, PG, PH, PL, PT, RO, RS, RU, VC, VN, 2A, 2M, ZW, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, VC, VN, 2A, 2M, ZW, CM, PG, PH, FL, FT, RO, RS, RU, LT, LU, LV, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, LT, LU, LV, MC, NL, PI, PT, RO, SE, SI, SK, TR, BF, BJ, LT, LU, LV, MC, NL, PI, PT, RO, SE, SI, SK, TR, BF, BJ, LT, LU, LV, MC, NL, PI, PT, RO, SE, SI, SK, TR, BF, BJ, LS, MW, MZ, NNA, SD, SL, SZ, TZ, UC, ZM, ZW, AM, AZ, BY, MD, RU, TJ, TM, AP, EA, EP, OA

US 2005-705886P P 20050803 US 20070032488
WO 2007013937
WO 2007013937
WO AE, AG, CN, CO, GE, GH, KR, KZ, MM, MX, SC, SD, US, UZ, RW: AT, BE, IS, IT,

IS, IT, CF, CG, GM, KE, KG, KZ, M PRIORITY APPLN. INFO.:

MARPAT 146:229197 OTHER SOURCE(S):

ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

(Uses)
(drug candidate; prepn. of aryl and heteroaryl derivs. useful in treatment and prevention of viral infections)
924283-01-2 CAPLUS
Cyclopentanecarboxylic acid, 1-[[3-cyclohexyl-4-[2-(2,4-dimethyl-5-thiazolyl)-6-quinolinyl]-5-[2-(4-morpholinyl)-2-oxoethyl]benzoyl]amino]-(CA INDEX NAME)

924283-29-4P

924283-29-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (Intermediate; preparation of aryl and heteroaryl derivs. useful in treatment and prevention of viral infections) 924283-29-4 CAPLUS

NN 5-420-7-4 CAFLOS

CN Benzamide,
3-cyclohexyl-4-[2-(2,4-dimethyl-5-thiazolyl)-6-quinolinyl]-5-[2-(4-morpholinyl)-2-oxoethyl]- (CA INDEX NAME)

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Disclosed are compds. of formulas I, II, and III, compns. and methods for treating Flaviviridae family virus infections. Compds. of formulas I,

and III wherein B and D are independently N and CRIL1; A and E are independently N and CR2; R is (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted alkenyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted heterocyclic, and

cun/substituted alkynii, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted cycloalkyl, (un)substituted cycloalkyl, (un)substituted cycloalkeyl, (un)substituted cycloalkeyl, (un)substituted cl-2 alkyl, (un)substituted c2-3 alkeyl, (un)substituted c2-3 alkeyl, and (un)substituted c2-3 alkyl, (un)substituted c2-3 alkeylene, (un)substituted c2-3 alkylene, (un)substituted c2-3 alkynylene, (un)substituted (heterolarylene, etc.; 2 is H, halo, (un)substituted alkeyl, (un)substituted alkeyl, (un)substituted (heterolaryl, COZH and derivs., etc.; Ar is (un)substituted (heterolaryl, and their pharmaceutically acceptable salts, esters, stereoisomers, prodrugs, and tautomers thereof are claimed. Example compound IV was prepared by attion (un) substituted

tautomers thereof are claimed. Example compound IV was prepared by amidation

of 3-(2-carboxyviny1)-5-cyclohexy1-4-(furan-3-y1)benzoic acid Me ester with morpholine; the resulting 3-cyclohexy1-4-(furan-3-y1)-5-(3-ox-3-(morpholin-4-y1)propeny1)benzoic acid Me ester underwent hydrolysis to give compound IV. All the invention compds. were evaluated for their antiviral activity.

IT 924283-01-2P

924233-01-2F KL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1127322 CAPLUS
DOCUMENT NUMBER: 142:74358
TITLE: Peparation of benzamide derivatives as capsaicin receptor VR1 activation inhibitors
INVENTOR(S): Kuramochi, Takahiro; Asai, Norio; Ikegai, Kazuhiro;
Akamatsu, Seijiro; Harada, Hironori; Ishikawa,

Shirakami, Shohei; Miyamoto, Satoshi; Watanabe, Toshihizo; Kiso, Tetsuo Yamanouchi Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 100 pp. CODEN: PIXXD2 Patent Japanese 1 Noriko;

PATENT ASSIGNEE(S): SOURCE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

CA 2004-2526387 EP 2004-736576 CA 2526387 EP 1632477

NO 2006000167 PRIORITY APPLN. INFO.: 20060310 NO 2006-167 JP 2003-167865 A 20030612 JP 2003-405086 A 20031203

WO 2004-JP8479

W 20040610

MARPAT 142:74358

OTHER SOURCE(S):

06/16/2008 Habte

Page 7

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Tittle compds. I [A = NR11R12, etc.; R11, R12 = H, halo, etc.; L = alkylene; ring D, E = mono- or dicyclic hydrocarbon ring, etc.; R1-R9 = AB

Н, halo, etc.; R10 = H, alkyl] were prepared For example, HBTU-mediated acylation of 3-methoxyaniline with 2-(piperidin-1-ylmethyl)biphenyl-4-carboxylic acid followed by treatment with HCl afforded compound II.

VR1

carboxylic acid followed by treatment with BCl afforded compound II. I

receptor binding assays, compound II exhibited the IC50 value of ≤1

µM. Compds. I are claimed useful as VRl activation inhibitors for the
treatment of pains.

813420-54-1P 813420-56-3P 813420-92-7P

813421-14-6P 813421-18-0P 813421-36-2P

813421-14-6P 813421-18-0P 813421-50-0P

813421-97-9P 813421-81-0P

813421-97-9P 813421-81-0P

813421-97-3P 813421-81-0P

813421-90-8P 813421-81-0P

813421-90-8P 813421-91-9P

813421-93-3P

813421-97-5P

813422-19-4P

813422-18-3P

813422-18-3P

813422-18-3P

813422-18-3P

813422-18-3P

813422-21-8P

813422-21-8P

813422-21-8P

813422-21-8P

813422-21-8P

813422-21-8P

813422-23-0P

813422-35-3P

813422-35-3P IT

(Continued) ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

813420-56-3 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-3-quinolinyl-, hydrochloride (1:2) (CA INDEX NAME)

● 2 HC1

813420-92-7 CAPLUS

Gl942-72-7 (ArBOS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-7-quinolinyl-, hydrobromide (1:2) (CA INDEX NAME)

●2 HBr

813421-14-6 CAPLUS

[1,1'-Bipheny1]-4-carboxamide, N-(4-hydroxy-2-quinoliny1)-2-(1-piperidiny1methy1)- (CA INDEX NAME)

$$\bigcap_{OH}^{N} \bigcap_{NH-C} CH_2 - N$$

813421-18-0 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
813422-37-6P 813422-38-7P 813422-39-8P
813422-40-1P 813422-41-2P 813422-47-BP
813422-46-6P 813422-46-7P 813422-47-8P
813422-56-1P 813422-51-4P 813422-55-P
813422-51-1P 813422-61-6P 813422-60-1P
813422-51-1P 813423-16-4P, N-(1-Methyl-2-oxo-1,2,3,4-tetrahydroquinolin-7-yl)-2-(piperidin-1-ylmethyl)biphenyl-4-carboxamide
813423-18-6P, N-(1-Methyl-2-oxo-1,2-dihydroquinolin-7-yl)-2-(piperidin-1-ylmethyl)biphenyl-4-carboxamide
813423-18-6P, N-(3-Methyl-2-oxo-1,2-dihydroquinolin-7-yl)-2-(piperidin-1-ylmethyl)biphenyl-4-carboxamide 813423-20-0P,
N-(3-Methyl-2-oxo-1,2-dihydroquinolin-7-yl)-2-(piperidin-1-ylmethyl)biphenyl-4-carboxamide 813423-22-2P,

2-[Ethyl(tetrahydro-2H-pyran-4-yl)amino]methyl]-N-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-7-yl)biphenyl-4-carboxamide 813423-23-3P,
N-(1-Methyl)-4-(2-thienyl)benzamide 813423-24-3P,
ylmethyl)-4-(2-thienyl)benzamide 813423-24-4P,
2-[[Ethyl(tetrahydro-2H-thiopyran-4-yl)amino]methyl]-N-(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-7-yl)biphenyl-4-carboxamide
813423-26-6P, N,N-Diethyl-4-[(4-[(1-methyl-2-oxo-1,2,3,4-tetrahydroquinolin-7-yl)biphenyl-4-carboxamide
RI: PRC [Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USes)
(prepn. of benzamide derivs. as capsaicin receptor VR1 activation

(Uses)
(prepn. of benzamide derivs. as capsaicin receptor VR1 activation inhibitors for treatment of pains)
813420-54-1 CAPLUS
[1,1'-Bipheny1]-4-carboxamide, 2-(1-piperidinylmethy1)-N-(1,2,3,4-tetrahydro-1-methy1-7-quinoliny1)-, ethanedioate (1:1) (CA INDEX NAME)

CM

CRN 813420-53-0 CMF C29 H33 N3 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

■ HC1

813421-36-2 CAPLUS
[1,1'-Siphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

813421-47-5

013421-4/-0 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-3,3-dimethyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

813421-49-7 CAPLUS [1,1'-Biphenyl'-4-carboxamide, 2-[(4-fluoro-1-piperidinyl)methyl]-N-(1,2',3,4-tetrahydro-2-oxo-7-quinollnyl)-, hydrochloride (1:1) (CA INDEX

06/16/2008 Habte

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

813421-50-0 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(4-fluoro-1-piperidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

813421-51-1 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(3,3-difluoro-1-piperidinyl)methyl]-N-(1,2,3,4-tetrahydro-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX

813421-52-2 CAPLUS

(1,1'-Biphenyl]-4-carboxamide, 2-[(3,3-difluoro-1-piperidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HCl

CN 3-Piperidinecarboxamide,
N,N-diethyl-1-[[4-[(1,2,3,4-tetrahydro-1-methyl2-oxo-7-quinolinyl)amino]carbonyl][1,1'-biphenyl]-2-yl]methyl]-,
hydrochloride (1:1) (CA INDEX NAME)

RN 813421-81-7 CAPLUS

NN -0372-01-) CARIOVA CN 2-Pyrolidineoarboxamide, N,N-diethyl-1-[[4-[[(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinylamino]carbonyl][1,1'-biphenyl]-2-yl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

RN 813421-82-8 CAPLUS

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 813421-78-2 CAPLUS
CN 2-Morpholinecarboxamide,
N,N-diethyl-4-[[4-[[(1,2,3,4-tetrahydro-1-methyl2-oxo-7-quinolinyl)amino]carbonyl][1,1'-biphenyl]-2-yl]methyl]-,
hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 813421-79-3 CAPLUS

CN 3-Morpholinecarboxamide,
N,N-diethyl-4-[[4-[[(1,2,3,4-tetrahydro-1-methyl2-oxo-7-quinolinyl)amino]oarbonyl][1,1'-biphenyl]-2-yl]methyl]-,
hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) [1,1'-Biphenyl]-4-carboxamide, N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-2-[[3-(trifluoromethyl)-1-piperidinyl]methyl]-, hydrobromide (1:1) (CA INDEX NAME)

813421-84-0 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[[3-[(1-oxobutyl)amino]-1-piperidinyl]methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, ethanedioate (1:1) (CA INDEX NAME)

CRN 813421-83-9 CMF C33 H38 N4 O3

CM 2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

RN 813421-89-5 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-(1-ethyl-1,2,3,4-tetrahydro-2-oxo-7-quinolinyl)-2-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HCl

 $\begin{array}{lll} 813421-90-8 & CAPLUS \\ [1,1'-Biphenyl]-4-carboxamide, & N-[1-(2-fluoroethyl)-1,2,3,4-tetrahydro-2-oxo-7-quinolinyl]-2-(1-piperidinylmethyl)-, & hydrochloride & (1:1) & (CA) &$

NAME)

● HCl

813421-91-9 CAPLUS

[1,1'-Biphenyl]-4-carboxamide, N-(1,2-dihydro-2-oxo-7-quinolinyl)-2-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

• HCl

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 2-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

813422-01-4 CAPLUS
[1,1'-Biphenyl]-4-carboxamide, N-(6-chloro-1,2,3,4-tetrahydro-2-oxo-7-quinolinyl)-2-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX

813422-05-8 CAPLUS
[1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-2-oxo-1-propyl-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAMP)

● HCl

813422-08-1 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1,3-dimethyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c|c} & \text{Me} \\ & \\ & \\ \text{N} \\ & \\ \text{C} \\ & \\ \text{NH} \end{array}$$

• HCl

813421-95-3 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-(1-piperidinylmethy1)-N-(1,2,3,4-tetrahydro-3-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 813421-97-5 CAPLUS CN [1,1'-Biphenyl]-4-carboxamide, N-(1,2-dihydro-1-methyl-2-oxo-7-quinolinyl)- 2-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

• HCl

RN 813421-99-7 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
N-(1,2-dihydro-3-methyl-2-oxo-7-quinolinyl)-

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

■ HC1

813422-09-2 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-[2-(1-piperidiny1)ethy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

813422-12-7 CAPLUS

NN 019422-12- (AFROS CN [1,1'-Biphenyl]-4-carboxamide, 2-chloro-6-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

• HCl

813422-14-9 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(3,3-dimethyl-1-pyrrolidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, ethanedioate (1:1)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CRN 813422-13-8 C30 H33 N3 O2

2

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

813422-18-3 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(4-morpholinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\$$

● HCl

813422-19-4 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-[[bis(2-methoxyethy1)amino]methy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

813422-22-9 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(3-methoxy-1-piperidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

• HCl

RN 813422-23-0 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
2-[[(3R)-3-methyl-1-pyrrolidinyl]methyl]-N(1,2,3,4-tetrahydro-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

• HCl

 $813422-25-2 \quad CAPLUS \\ [1,1'-Biphenyl]-4-carboxamide, \ 2-[(2,5-dimethyl-1-pyrrolidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, \ hydrochloride \ (1:1)$

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

• HCl

813422-20-7 CAPLUS [1,1'-Bipheny]|-4-carboxamide, 2-[[ethyl(2-methoxyethyl)amino]methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

813422-21-8 CAPLUS

NN 813422-21-8 CAPLUS

[1,1'-Biphenyl]-4-carboxamide,

2-[[(3R)-3-methyl-1-pyrrolidinyl]methyl]-N(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1)
(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (CA INDEX NAME) (Continued)

[1,1'-Biphenyl]-4-carboxamide, 2-[(3-ethoxy-1-pyrrolidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

813422-27-4 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(2,6-dimethyl-4-morpholinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

Me N
$$CH_2$$
 $C-NH$ N

813422-28-5 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 3'-fluoro-2-(1-piperidiny1methy1)-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

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L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

• HCl

RN 813422-29-6 CAPLUS
CN 2-Piperidinecarboxamide,
N,N-diethyl-1-[[4-[(1/2,3,4-tetrahydro-1-methyl2-oxo-7-quinolinyl)amino]carbonyl][1,1'-biphenyl]-2-yl]methyl]-,
hydrochloride (1:1) (CA INDEX NAME)

• HCl

813422-30-9 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(2-methyl-1-pyrrolidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

HCl

813422-36-5 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 4'-chloro-2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

813422-37-6 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-(1-piperidiny1methy1)-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-3'-(trifluoromethy1)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{picture}(100,0) \put(0,0){\ovalpha} \put(0,0){\ovalpha$$

• HCl

Absolute stereochemistry.

2 CM

CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

813422-35-4 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 4'-fluoro-2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

HCl

813422-38-7 CAPLUS

RN 813422-38-7 CAPLUS
CN Benzamide,
3-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-4-(2-thienyl)-, hydrochloride (1:1) (CA INDEX NAME)

06/16/2008

813422-39-8 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 3'-chloro-2-(1-piperidiny1methy1)-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HCl

813422-40-1 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[3-(1-piperidinylcarbonyl)-1-piperidinylmethyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

813422-41-2 CAPLUS
[1,1'-Biphenyl]-4-carboxamide, 2-(4-morpholinylmethyl)-N-(1,2,3,4-tetrahydro-3-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 813422-46-7 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[[ethyl(tetrahydro-2H-pyran-4-yl)amino]methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

HCl

RN 813422-47-8 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
2-[[3-(methoxymethyl)-1-piperidinyl]methyl]N-(1,2,3,4-tethadydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1)
(CA INDEX NAME)

813422-48-9 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-[[2-(1-piperidinylmethy1)-1-pyrrolidinylmethy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:2) (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\bigcap_{\mathsf{N}} \mathsf{Ph} \bigcap_{\mathsf{CH}_2} \mathsf{C} - \mathsf{NH} \bigcap_{\mathsf{N}} \mathsf{H}$$

813422-42-3 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-[(4-cyano-1-piperidinyl)methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

CAPLUS

olyucz-43-0 CAFLOS [[[[2-(diethylamino)-2-oxoethyl]ethylamino]methyl]-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

●2 HC1

RN 813422-51-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
N-(1,2-dihydro-3-methyl-2-oxo-7-quinolinyl)2-[fethyl(2-methoxyethyl)amino]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

813422-52-5 CAPLUS

No. 03422-03-0 CAPUS

CN [1,1'-Biphenyl]-4-carboxamide,
N-(1,2-dihydro-3-methyl-2-oxo-7-quinolinyl)2-[[3-(1-piperidinylcarbonyl)-1-piperidinyl]methyl]-, hydrochloride (1:1)

(CA INDEX NAME)

06/16/2008 Habte

Page 13

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\bigcap_{N-C}\bigcap_{N-CH_2}\bigcap_{C-NH}\bigcap_{N-C-NH}\bigcap_{N-C}\bigcap_{N-C-NH}\bigcap_$$

HC1

 $\label{eq:continuous} \begin{array}{lll} 813422-58-1 & \text{CAPLUS} \\ [1,1'-\text{Bipheny}]^{-4}-\text{carboxamide}, & 2-[\{\text{ethyl(tetrahydro-}2\text{H-thiopyran-}4-yl)\, amino]} & \text{methyl]-N-(1,2,3,4-tetrahydro-}1-\text{methyl-}2-\text{oxo-}7-\text{quinolinyl)-}, \\ & \text{hydrochloride} & (1:1) & (\text{CA INDEX NAME}) \\ \end{array}$

$$\begin{array}{c|c} \text{Et} & \text{O} & \text{Me} \\ \hline \\ N-\text{CH}_2 & \text{C-NH} & \text{N} \end{array}$$

HCl

813422-61-6 CAPLUS

813422-61-6 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-([1,3'-bipiperidin]-1'-ylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, ethanedioate (1:1) (CA

INDEX NAME)

CM 1

CRN 813422-60-5 CMF C34 H40 N4 O2

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\bigcap_{N \longrightarrow CH_2} \bigcap_{C - NB} \bigcap_{N \longrightarrow C} \bigcap_{N \longrightarrow CH_2} \bigcap_{N \longrightarrow C} \bigcap_{N \longrightarrow$$

RN 813423-18-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide,
N-(1,2-dihydro-1-methyl-2-oxo-7-quinolinyl)2-(1-piperidinylmethyl)- (CA INDEX NAME)

RN 813423-20-0 CAPLUS
CN [1,1"-Biphenyl]-4-carboxamide,
N-(1,2-dihydro-3-methyl-2-oxo-7-quinolinyl)2-(1-piperidinylmethyl)- (CA INDEX NAME)

813423-22-2 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-[[ethy1(tetrahydro-2H-pyran-4-y1)amino]methy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)- (CA INDEX NAME)

RN 813423-23-3 CAPLUS CN Benzamide, 3-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-4-(2-thienyl)- (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) CRN 144-62-7 CMF C2 H2 O4

но-с-с-он

RN 813422-66-1 CAPLUS
CN [1,1"-Biphenyl]-4-carboxamide,
2-[[cyclohexyl](1-methylethyl)amino]methyl]N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)-, hydrochloride (1:1)
(CA INDEX NAME)

● HC1

813422-67-2 CAPLUS [1,1'-Bipheny1]-4-carboxamide, 2-[[bis(2-methylpropy1)amino]methy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)-, hydrochloride (1:1) (CA INDEX NAME)

813423-16-4 CAPLUS [1,1'-Biphenyl]-4-carboxamide, 2-(1-piperidinylmethyl)-N-(1,2,3,4-tetrahydro-1-methyl-2-oxo-7-quinolinyl)- (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

 $813423-24-4 \quad CAPLUS \\ [1,1'-Bipheny1]-4-carboxamide, 2-[[ethy1(tetrahydro-2H-thiopyran-4-y1)amino]methy1]-N-(1,2,3,4-tetrahydro-1-methy1-2-oxo-7-quinoliny1)- (CAINDEX NAME) \\ (CAINDEX NAME)$

RN 813423-26-6 CAPLUS
CN 3-Morpholinecarboxamide,
N,N-diethyl-4-[[4-[[(1,2,3,4-tetrahydro-1-methyl2-oxo-7-quinolinyl)amino]carbonyl][1,1'-biphenyl]-2-yl]methyl]- (CA

NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

(Continued)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2004:780670 CAPLUS DOCUMENT NUMBER: 141:295874

141:293674
Preparation of tetrahydroquinoline derivatives as inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system. Quan, Mimi L.; Wang, Cailan; Zhou, Jinglan; TITLE: INVENTOR(S):

PATENT ASSIGNEE(S):

Jon J.; Seiffert, Dietmar A.; Knabb, Robert M. Bristol-Myers Squibb Company, USA PCT Int. Appl., 150 pp. CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

											DATE								
	0 2004																		
	W:	ΑE,	AG,	AL,	AM,		AU,	AZ,	BA,						BZ,	CA,			
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NA,	NI,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,		
		BY,	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,		
		ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,		
		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,		
		TD,																	
	US 20040235847						2004	1125		US 2	004-	20040309							
	US 7138412																		
E	EP 1601656				A1		2005	1207		EP 2	004-	45		20040310					
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
							RO,												
	JP 2006519844																		
	US 20060223854							1005							20060509				
PRIORI	PRIORITY APPLN. INFO.:									US 2	003-	4538	12P		P 2	0030	311		
										US 2	004-	7963	96		A 2	0040	309		
										WO 2	004-	US 72	16		W 2	0040	310		

OTHER SOURCE(S): MARPAT 141:295874

ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

REFERENCE COUNT:

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Title compds. [I; L1 = bond, CH2, CH2CH2, CH2CO, CH2CO, etc.; L2 = bond,

CO, CO2, S, SO, SO2, CONR8, SO2NR8, etc.; A = (substituted) carbocyclylene, heterocyclylene; B = (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl; X1-X4 = CR1, CR2, N, etc.; R1 = H, F, Cl, Br, iodo, OCF3, CF3, cyano, NH2, alkylamino, dialkylamino, CONH2, CH2CH2NH2, etc.; R2 = H, F, Cl, Br, iodo, OCF3, CF3, cyano, NO2, amino, aminocarbonyl, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R4 = H, F, haloalkyl, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R5 = H, F, haloalkyl, (substituted) alkyl, alkenyl, alkynyl, heterocyclyl, etc.; R5 = H, F, haloalkyl,

F, alkyl, aminoalkyl, CF3, aminocarbonyl, etc.; R14 = H, alkyl, aminoalkyl, F, CF3, aminocarbonyl, etc.; R13R14 = O; R15 = H, alkyl; R16

H, alkyl, PhCH2, alkylcarbonyl, alkylsulfonyl, alkoxycarbonyl], were prepared Thus, 4-amidinobenzamidine monohydrochloride, styrene, l'-formyl-1-benzyloxycarbonyl-4-isobutylcarbamoylbiphenyl (preparation given)

and indium triflate were heated together at 70° in MeCN for 12 h to give a product which was hydrogenolyzed in MeON/HOAc over Pd/C to give 22'-(6-carbamimidoyl-4-phenyl-1,2,3,4-tetrahydroquinolin-2-yl)-4-isobutylaarbamoylbiphenyl-2-carboxylic acid. I inhibited Factor XIa with Ki ≤15 μM. 762253-42-9P

IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of carbaminidoyltetrahydroquinoline derivs. as inhibitors of

pitors of
serine protease enzymes of the coagulation cascade and/or contact
activation system)
7c23-42-9 CAPLUS
[1,1'-Biphenyl]-2,4-dicarboxamide, 3'-[6-(aminoiminomethyl)-1,2,3,4tetrahydro-4-phenyl-2-quinolinyl]- (CA INDEX NAME)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2001:792340 CAPLUS DOCUMENT NUMBER: 135:331672
TITLE: of Preparation of methionine derivatives as inhibitors

protein isoprenyl transferases Sebti, Said M.; Hamilton, Andrew D.; Augeri, David INVENTOR(S):

Barr, Kenneth J.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; O'connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Tasker, Andrew S.; Wasicak, James T.; Nelson, Lissa T. J.; Henry, Kenneth J.; Wang, Le University of Pittsburgh, USA U.S., 514 pp., Cont.-in-part of U.S. Ser. No.

SOURCE: 852,858,

CODEN: USXXAM

DOCUMENT TYPE: English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND APPLICATION NO. DATE DATE US 1998-73794 ZA 1999-6763 US 1995-7247P US 6310095 ZA 9906763 PRIORITY APPLN. INFO.: 19980507 В1 20011030 20000515 19991027 P 19951106 US 1996-740909 B2 19961105 US 1997-852858 B2 19970507 US 1998-73794 A 19980507 US 1998-197279 A 19981120

OTHER SOURCE(S): MARPAT 135:331672

AB Compds. R7-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4NR5L5 where L4 and L5 are absent or alkylene, R5 is

alkanoyl, alkoxy, alkoxyalkyl, haloalkyl, etc.; Z is a covalent bond; R3

cycloalkyl, alkoxy, alkyl, halogen, oxo, etc.] or their pharmaceutically acceptable salts, were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(R)-thiazolidin-4-ylcarbonylamino]-2-phenylbensoyl]methionine Me ester hydrochloride, prepared via amidation reaction, showed 928 inhibition of farnesyl transferase at 1x10-6 M.

IT 216231-94-6P 216234-40-1P
Ri. BAC (Biological activity or effector, except adverse); BSU (Biological)
(Biological)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

216234-40-1 CAPLUS L-Methionine, N-[[5-[(butyl-2-quinolinylamino)methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]- (CA INDEX NAME)

IT

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of methionine derivs. as inhibitors of protein isoprenyl transferases)

transferases)
216238-29-8 CAPLUS
L-Methionine, N-[[5-[(butyl-2-quinolinylamino)methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:34858 CAPLUS

US COPYRIGHT 2008 ACS on STN
2000:34858 CAPLUS
132:932:1
Preparation of naphthalimidobenzamide derivatives as antitumor agents
Noguchi, Kazuharu, Wakkida, Motoji, Suzuki, Kenji,
Yamada, Yuji, Asao, Tetsuji
Taiho Fharmaceutical Co., Ltd., Japan
PCT Int. Appl., 129 pp.
CODENI PIXXD2
Patent
Japanese
1 DOCUMENT NUMBER: TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PA	KINI		DATE		APPLICATION NO.							DATE								
WO	20000	0016	72		A1		2000		WO.	199	999-JP3574					19990	702			
			BE,		KR, CY,		DK,	ES,	FI,	FI	R, G	В,	GR,	IE,	IT,	LU	, MC,	NL,		
	23000	069					20000113 CA 1999-2300069								19990702					
	CA 2300069 AU 9943963						2000	AU 1999-43963							19990702					
	AU 727591 EP 1020446										EP 1999-926895						19990702			
	P 1020446				В1	B1 20060315				GB, GR, IT, LI, LU, NL,										
	R:		BE, FI,		DE,	DK,	ES,	FR,	GB,	GI	R, I	Γ,	LI,	LU,	NL,	SE	, MC,	PT,		
	JP 3357662						2002						77			19990				
AT 320417 US 6300331							2006						95 44			19990 20000				
PRIORITY APPLN. INFO.:										JP	199	8-1	890	78		A	19980	703		
										WO	199	9-J	P35	74		W	19990	702		
OTHER SO	DURCE	(S):			MARI	PAT	132:	9322:	1											

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

2-(3-Carbamoylphenyl)-lH-benz[de]isoquinoline-1,3(2H)-dione derivs. represented by general formula (I) or salts thereof (wherein R1 is hydrogen,NO2, OH, NH2, halo, cyano, COZH, cONH2, ureido, alkyl, trihaloalkyl, alkoxy, etc.; Y is hydrogen or -CON(R4)-A2-X2; R2 and R4

each independently hydrogen or alkyl; A1 and A2 are each independently linear or branched alkylene which may be interrupted by N(R3), O, S,

NHCO, S(O), or SO2 (wherein R3 is hydrogen or the like); X1 is optionally substituted aryl, heteroaryl, aryldicarbonylimino, heteroaryladicarbonylimino, arylamino, heteroaryladicarbonylimino, arylamino, heteroaryladicarbonylimino, arylamino, arylamino, aryladicarbonylimino, heteroaryldicarbonylimino, arylamino, arylam

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 48 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1-[N-[2-[(2-aminoethyl) amino]ethyl] carbamoyl]-3-(3-mitro-1,8naphthalimido)-5-[N-(2-piperidinoethyl) carbamoyl] benseme hydrochloride,

0.5 mL Et3N, and 243 mg 3-mitro-1,8-naphthalic anhydride in 4 mL DMF was

stirred at 60° for 30 min to give 72.2% title compd. (II.HCl).

II.HCl in vivo inhibited the proliferation of human melanoma LOX, human

pancreatic cancer PAN, human breast cancer MX1, and human stomach cancer

AZ521 cells transplanted s.c. in nude mice by 96.2, 59.8, 71.8, and

%.

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of naphthalimidobenzamide derivs. as antitumor agents) 254451-86-0 CAPLUS 1,3-Benzenedicarboxamide, 5-(5-nitro-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)-N-[2-[[2-(5-nitro-1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-

y1)ethyl]amino]ethyl]-N'-[2-[[2-[(3-quinolinylcarbonyl)amino]ethyl]amino]e
thyl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

■ 3 HC1

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-B

y1)ethyl]amino]ethyl]-N'-[2-[[2-[(4-quinolinylcarbonyl)amino]ethyl]amino]e thyl]-, trihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

●3 HCl

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN tetrahydrochloride (9CI) (CA INDEX NAME) (Continued)

PAGE 1-A - NH- CH₂- CH₂- NH- CH₂- CH₂- NH-

PAGE 1-B

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued) PAGE 1-B

254451-91-7 CAPLUS 1,3-Benzenedicarboxamide, 5-(5-nitro-1,3-dioxo-1H-benz[de]isoquinolin-

2(3H)-y1)-N,N'-bis[2-[[2-[(3-quinolinylcarbonyl)amino]ethyl]amino]ethyl]-, tetrahydrochloride (9CI) (CA INDEX NAME)

● 4 HCl

PAGE 1-B

254451-92-8 CAPLUS 1,3-Benzenedicarboxamide, 5-(5-nitro-1,3-dioxo-1H-benz[de]isoquinolin-

 $2\,(3\text{H})\,-\text{yl})\,-\text{N,N'-bis}\,[2\,-\,[\,(2\,-\,[\,(4\,-\,\text{quinolinylcarbonyl})\,\text{amino}]\,\text{ethyl}]\,\text{amino}]\,\text{ethyl}]\,-,$

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:744940 CAPLUS
DOCUMENT NUMBER: 130:25338
TITLE: Inhibitors of protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David
J.;

Barr, Kenneth J.; Donner, Bernard G.; Fakhoury,
Stephen A.; Janowick, David A.; Kalvin, Douglas M.;
Larsen, John J.; Liu, Gang; O'Connor, Stephen J.;
Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.;
Sorensen, Bryan K.; Sullivan, Gerard M.;
Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick,
James I.; Winn, Martin
University of Pittsburgh, USA
PCT Int. Appl., 848 pp.
CODEN: PIXXD2
Patent
English
8

PATENT ASSIGNEE(S):

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.																			
							A1 19981112 WO 1998-US9296								19980507					
	ī	d:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BF	, Bi	, CA	, CH,	CN,	CU,	CZ,	DE,		
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL	, IS	, JF	, KE,	KG,	KP,	KR,	KZ,		
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG	, Mr	, MN	, MW,	MX,	NO,	NZ,	PL,		
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL	, To	, TM	, TR,	TT,	UA,	UG,	UZ,		
			VN,	YU,	ZW															
	1	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW	I, AI	, BE	, CH,	CY,	DE,	DK,	ES,		
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL	, PI	, SE	, BF,	ВJ,	CF,	CG,	CI,		
			CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG	;								
C.	A 22	2288330				A1	19981112 CA 19						-228	8330	19980507					
A	U 98	374	733			A		19981127 AU 1998-74733								19980507				
E	P 98	3638	34			A1		2000	0322		EP	1998	-922		19980507					
	1	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GP	, II	, LI	, LU,	NL,	SE,	MC,	PT,		
			IE,	FI																
J.	P 20	0025	5189	85		T		2002	0625		JP	1998	-548	480		1	9980	507		
								2002	0701		TW	1998	-871	07182		1	9980	715		
T	W 54	1130	02			В		2003	0711		TW	1998	-871	07183		1	9980	715		
M	X 99	910:	186			A		2000	0630		MX	1999	-101	86		1	9991	105		
PRIORI	TY A	APPI	LN.	INFO	. :						US	1997	-852	858		A 1	9970	507		
											WO	1998	-US9	296		W 1	9980	507		

WO 1998-US9296 W 19980507

OTHER SOURCE(S): MARPAT 130:25338

AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4MRSL5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or innio; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-[(R)-thlazolidin-4-ylcarbonylamino]-2-phenylphenzoyl]methionine Me ester hydrochloride, prepared

via amidation reaction, showed 92% inhibition of farnesyl transferase at 1x10-6 M.

1 216231-94-6P 216234-40-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of inhibitors of protein isoprenyl transferases) 216231-94-6 CAPLUS L-Methionine, N-[[5-[(6-quinolinylamino)methyl][1,1'-biphenyl]-2-yl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

216234-40-1 CAPLUS L-Methionine, N-[[5-[(butyl-2-quinolinylamino)methyl]-2'-methyl[1,1'-biphenyl]-2'yl]carbonyl]- (CA INDEX NAME)

IT 216238-29-8P

216238-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of inhibitors of protein isoprenyl transferases)
216238-29-8 CAPLUS
L-Methionine, N-[[5-[(butyl-2-quinolinylamino)methyl]-2'-methyl[1,1'-biphenyl]-2-yl]carbonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
ORIGINAL REFERENCE NO.:
39:11173
39:11561a,11564a
Ligand structure and complexation. LI. Multidentate neutral ligands with variable cavities and pseudocavities:
AUTHOR(S):
CORPORATE SOURCE:
SOURCE:
Liebiga Annalen der Chemie (1980), (3), 425-40
COEN: LACHDL; ISSN: 0170-2041
JOURNAL TYPE:
LANGUAGE:
GEFMAN
GI
GEFMAN
GI
CASREACT 93:71173

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

AB New cyclic and acyclic netral ligands, e.g. I and II, contain repeating oligoethylene glycol ethers and carboxamide functions, which allow attractive interactions between single chain segments and with terminal groups. The complexation of Na+ and competing cations was studied by 25Na-NMR spectroscopy. Na+ was also displaced by neutral mols. such as urea. Chiral open-chain ligands and their complexation with alkali and alkaline earth metal salts were described.

IT 74129-21-8P 74136-81-5P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 74129-21-8 CAPLUS
CN Rubidium(1+),
[N,N',N''-tris[2-[2-[2-[2-[8-quinolinyloxy)ethoxy]ethoxy]ethoxy]phenyl]-1,3,5-benzenetricarboxamide]-, iodide (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE REFERENCE COUNT:

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

PAGE 1-A

PAGE 2-A

● T =

RN 74136-81-5 CAPLUS CN Sodium(1+), [N,N',N''-tris[2-[2-[2-[2-(8-quinolinyloxy)ethoxy]ethoxy]ethoxy]

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
y[phenyl]-1,3,5-benzenetricarboxamide]-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 74136-80-4 CMF C72 H72 N6 Na O15 CCI CCS

PAGE 1-A

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2 CRN 14797-73-0 CMF Cl O4

Habte 06/16/2008